

AL

Priority Applications (No Type Date): DE 4447287 A 19941230; WO 96EP4526 A 19961017; AU 9745108 A 19961017; EP 96934737 A 19961017

Patent Details:

Patent Kind Lan Pg Filing Notes Application Patent

DE 4447287 C1 25

WO 9817255 A1 G 67

Designated States (National): AU BR CA CN HU IL JP KR NZ US

Designated States (Regional): AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE

AU 9745108 A Based on WO 9817255

EP 935457 A1 G Based on WO 9817255

Designated States (Regional): AT BE CH DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE

Abstract (Basic): DE 4447287 C

A composition (A) comprises liquid droplets suspended in a fluid (A) for the transport of at least one active agent, especially for medicinal or biological use, into and through barriers and constrictions such as the skin. The droplets are surrounded by a membrane-type shell made of one or a few layers of amphiphilic carrier substances (I). There are at least two, (Ia) and (Ib), carrier substances with different physico-chemical properties. (Ia) and (Ib) have solubilities in the suspension medium (usually water) that differ by a factor of at least 10. The content of solubilising components is less than 0.1 mol.%, based on the content of (I), so that the solubilising point of the droplets with shells is reached or the solubilising point cannot be reached. Also claimed is a process for the preparation of (A), where the content of amphiphilic components is chosen so that the permeability of (A) through constrictions is at least 10<sup>-5</sup> of the permeability of small molecules, such as water.

USE - (A) is used to cross through the skin, mucous membranes, or organs, to deliver active agents by non-invasive routes. (A) can be used for humans, animals or plants. (A) are transfersomes, not liposomes. The active agent may be the more soluble component (Ia) of (I).

ADVANTAGE - (A) does not contain penetration enhancers (which may be occlusive), (A) gives rapid transport of the active agent, with high availability at the site of action.

Dwg.1/8

Title Terms: DROP; FLUID; COMPOSITION; TRANSPORT; AGENT; THROUGH; SKIN; AMPHIPHILIC; MEMBRANE; TYPE; STRUCTURE; CONTAIN; TWO; MORE; COMPONENT;

SOLUBLE; ROUND; DROP; ACT; CARRY

Derwent Class: B07; C07

International Patent Class (Main): A61K-009/127

International Patent Class (Additional): A61K-045/08

File Segment: CPI

EXHIBIT A